

## REMARKS

An Office Action was mailed in the above-captioned application on April 8, 2005. In such Office Action claims 1-13 were pending. Claims 2, 4, 5, 12 and 13 were withdrawn from consideration. Claims 1, 3, and 6-11 were rejected. This Amendment and Remarks document is submitted in response to said Office Action. Claims 2, 4, 5, 12 and 13 have been cancelled as being drawn to a non-elected invention. Claim 10 has also been cancelled. Claims 1, 6, 7, 9, and 11 have been amended. New claims 14-33 have been added.

### Restriction Requirement under 35 U.S.C. § 121

An election requirement was made to pending claims 1-13. The claims were placed into eight groups:

Group I (claims 1, 2, 6-8, 10 and 11) drawn to heteroaryl imidzoles;

Group II (claims 1, 3 and 6-11) drawn to quinolones;

Group III (claims 1, 4, and 6-11) drawn to benzimidazoles;

Group IV (claims 1, 5, 7, 8, 10, and 11) drawn to heteroaryl pyridones;

Group V (claims 1, 7, 8, 10, and 11) drawn to fused aryl pyrimidones;

Group VI (claims 1 and 5-11) drawn to fused heteroaryl pyrimidones;

Group VII (claim 12) drawn to a process for making an intermediate which is a alkenylthienylcarboxaldehyde compound using organoboron containing compound); and

Group VIII (claim 13) drawn to a process for making an intermediate which is a fluoroalkenylthienylcarboxaldehyde using a chlorosilane).

In a telephone conversation with the Examiner on March 31, 2005, Applicant made a provisional election to prosecute the invention of Group II, claims 1, 3, and 6-11, drawn to quinolones. Applicant hereby affirms the election of claims 1, 3, and 6-11 without traverse.

### The Rejection under 35 U.S.C. § 112, second paragraph

The Examiner has rejected Claims 6-8, 10 and 11 under 35 U.S.C. § 112, second paragraph as allegedly being indefinite for failing to particularly point out and distinctly claim the subject matter which applicant regards as the invention. The second paragraph of Section

112 requires that the claims set out and circumscribe a particular area which applicants regard as their invention with a *reasonable* degree of precision and particularity.

Claim 6 was rejected as the rejection indicates that “quinolone” was meant. Claim 6 has been amended to delete the recitation to “quinoline” and replace it with “quinolone”.

Claims 7, 10, and 11 have been rejected as being improper multiple dependent claims for depending on multiple dependent claims. Claim 10 has been cancelled. Claims 7 and 11 have been rewritten to depend from claim 1 and are no longer multiply dependent. New claims 14 and 15 are parallel to claims 7 and 11, and depend from claim 3. New claims 18 and 19 depend from claim 1 and 3, respectively.

Claim 10 has been cancelled. New claim 21-32 have been added and are directed to method of treating a bacterial infection comprising administering a compound of claim 1, 3, 6-9, and 14-20.

It is believed that the amendments overcome the rejection under 35 U.S.C. § 112, second paragraph. Reconsideration is respectfully requested.

#### The Rejection under 35 U.S.C. § 103(a)

The Examiner has rejected Claims 1, 3 and 6-11 under 35 U.S.C. § 103(a) as being unpatentable over Berge, et al, U.S. Patent No. 6,320,051. The Examiner bears the burden of establishing a prima facie case of obviousness (Section 103). In determining obviousness, one must focus on Applicant's invention as a whole. *Symbol Technologies Inc. v. Opticon Inc.*, 19 U.S.P.Q.2d 1241, 1246 (Fed. Cir. 1991). The primary inquiry is:

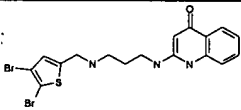
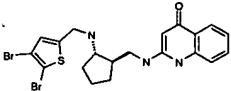
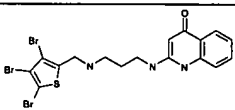
whether the prior art would have suggested to one of ordinary skill in the art that this process should be carried out and would have had a reasonable likelihood of success . . . . Both the suggestion and the expectation of success must be found in the prior art, not in the applicant's disclosure.

*In re Dow Chemical*, 5 U.S.P.Q.2d 1529, 1531 (Fed. Cir. 1988). Specifically, the rejection indicates that Berge, et al., discloses genus of 1,3-diaminopropanes substituted by an optionally substituted 2-(quinolin-4-onyl) moiety on one amino group and a 1-(aryl)alkyl or a 1-(heteroaryl)alkyl moiety on the other “for the same antibacterial activity.” The rejection also asserts that that the heteroaryl group can be thienyl, and that it can be substituted by 2 or 3 lipophilic moieties such as chloro, bromo, iodo, methyl, methoxy, ethoxy, or trifluoromethyl. Applicants respectfully traverse this rejection.

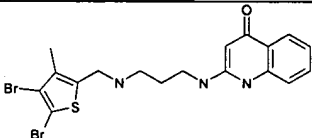
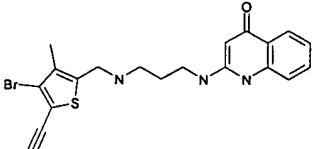
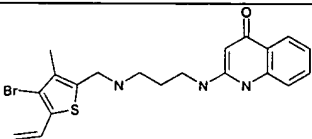
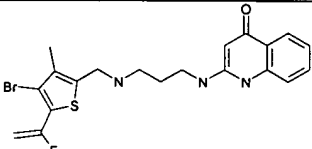
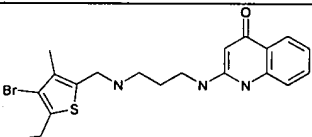
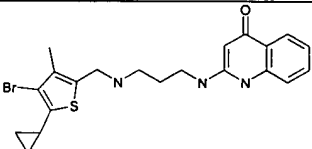
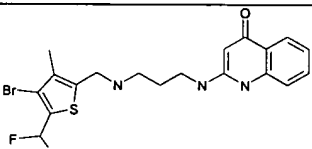
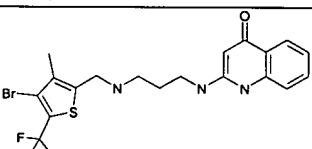
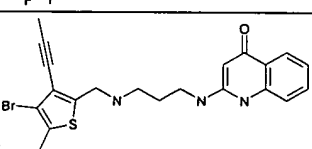
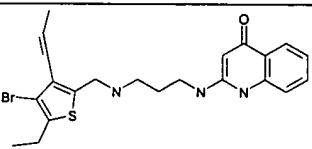
Even if we were to assume (without conceding) that Berge et al. might disclose compounds which contain a “thienyl group” and “lipophilic groups,” the few examples given, at most, represents a list of possible compounds that may be obvious to try to prepare. It is well established that “obvious to try” is not the appropriate standard for establishing obviousness under Section 103 (*In re O’Farrell*, 853 F.2d 894, 903, 7 USPQ2d 1673, 1680-81 (Fed. Cir. 1988)).

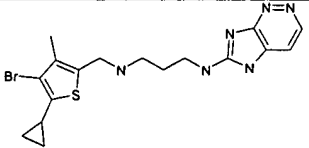
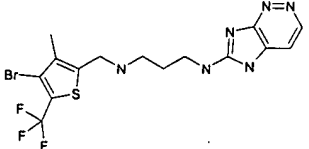
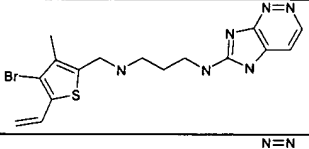
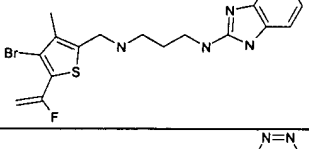
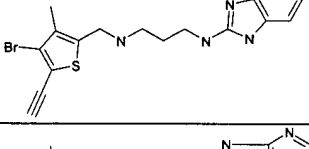
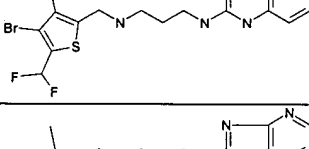
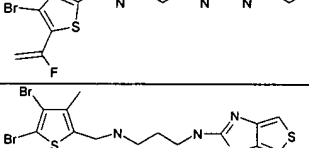
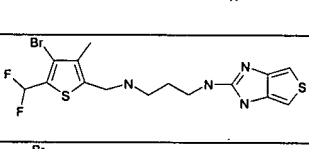
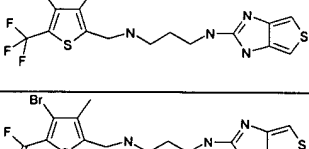
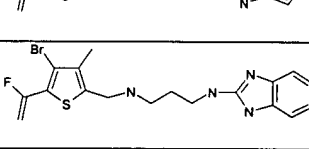
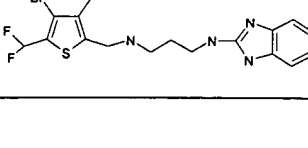


Even if we assume (without conceding), that a *prima facie* case of obviousness has been made, the rejection is still improper for the reason that superiority of a property shared with the prior art is evidence of nonobviousness. Evidence of unobvious or unexpected advantageous properties, such as superiority in a property the claimed compound shares with the prior art, can rebut *prima facie* obviousness. No set number of examples of superiority is required. (*In re Chupp*, 816 F.2d 643, 646, 2 USPQ2d 1437, 1439 (Fed. Cir. 1987); *Ex parte A*, 17 USPQ2d 1716 (Bd. Pat. App. & Inter. 1990) (unexpected superior therapeutic activity of claimed compound against anaerobic bacteria was sufficient to rebut *prima facie* obviousness even though there was no evidence that the compound was effective against all bacteria)).

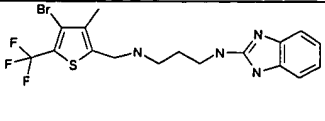
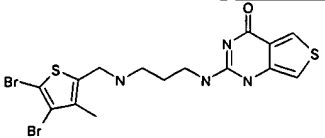
In the present case, the rejection asserts that the claimed compounds have “the same antibacterial activity” as the compounds of Berge, et al.; however, the claimed compounds have superior antibacterial properties. Page 15, lines 15-19 of the specification describes the antibacterial activity of some of the compounds described in Berge, et al. Page 15, line 20 to page 16, describes the antibacterial activity of some of the presently claimed compounds:

Prior Art Example	Structure	MIC S. aureus (ug/ml)	MIC S. pneumo (ug/ml)	MIC H.influenzae (ug/ml)
37		8	1	>64
74		2	2	>64
65		2	1	>64

The following examples illustrate thiophenes with improved antibacterial activity that relate to the compounds of the present invention.

Example #	Structure	MIC S. aureus (ug/ml)	MIC S. pneumo (ug/ml)	MIC H.influenzae (ug/ml)
1		0.25	0.06	32
2		0.13	0.06	>64
3		0.06	0.06	16
4		0.06	0.06	4
5		0.06	0.25	64
6		0.06	0.13	32
7		1	1	64
8		0.13	0.13	64
9		0.06	0.06	64
10		0.06	.25	8

11		2	8	2
12		0.5	2	0.25
13		0.5	2	2
14		0.25	0.25	0.13
15		1	0.5	0.5
16		0.5	4	64
17		0.06	0.25	64
18		0.13	0.25	8
19		0.13	0.5	16
20		0.25	0.5	16
21		0.06	0.25	8
22		0.13	0.5	16
23		1	4	8

24		0.5	1	8
25		0.5	2	32

The MICs for *S. aureus* range from 1.5 to 133 times better than the prior art compounds. Similarly, The MICs for *S. pneumoniae* range from 1.5 to 33 times better than the prior art compounds.

Applicant submits that the antibacterial activity of the presently claimed compounds, when compared to the prior art compounds is clearly superior, and this superiority is sufficient to overcome any assertion of obviousness. Reconsideration is respectfully requested.

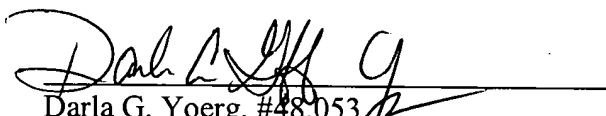
#### Closing Remarks

Applicant believes that the pending claims are in condition for allowance. If it would be helpful to obtain favorable consideration of this case, the Examiner is encouraged to call and discuss this case with the undersigned.

This constitutes a request for any needed extension of time and an authorization to charge all fees therefore to deposit account No. 19-5117, if not otherwise specifically requested. The undersigned hereby authorizes the charge of any fees created by the filing of this document or any deficiency of fees submitted herewith to be charged to deposit account No. 19-5117.

Respectfully submitted,

Date: October 6, 2005

  
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